

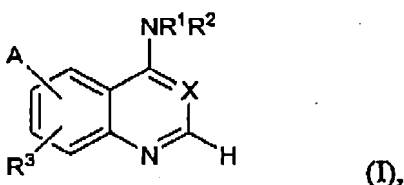
Application No.: 10/642,440

RECEIVED
CENTRAL FAX CENTER
MAY 16 2007

AMENDMENT TO THE CLAIMS

A listing of the claims presented in this patent application appears below. This listing replaces all prior versions and listing of claims in this patent application.

Claim 1 (currently amended): A compound including resolved enantiomers, diastereomers[[,]] solvates and pharmaceutically acceptable salts thereof, said compound comprising Formula I:



wherein an A group is bonded to at least one of the carbons at the 5, 6, 7 or 8 position of the bicyclic ring, and the ring is substituted by up to three independent R^3 groups;

X is N;

R^1 is a substituted or unsubstituted, monocyclic or bicyclic, aryl moiety;

R^2 is H or a substituted or unsubstituted C_{1-8} alkyl[[,]]

or R^2 is a C_{1-8} alkyl having a terminal carbon atom bound to one or the ring atoms of R^1 ;

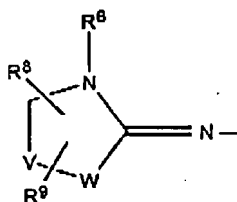
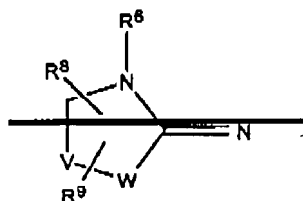
R^3 is hydrogen, halogen, cyano, nitro, C_1 - C_{10} alkyl, C_2 - C_{10} alkenyl, C_2 - C_{10} alkynyl, C_3 - C_{10} cycloalkyl, C_3 - C_{10} cycloalkylalkyl, aryl, arylalkyl, heteroaryl, heteroarylalkyl, heterocyclyl, heterocyclylalkyl, $-NR^4SO_2R^5$, $-SO_2NR^6R^4$, $-C(O)R^6$, $-C(O)OR^6$, $-OC(O)R^6$, $-NR^4C(O)OR^5$, $-NR^4C(O)R^6$, $-C(O)NR^4R^6$, $-NR^4R^6$, $-NR^4C(O)NR^4R^6$, $-OR^6$, $-S(O)R^5$, $-SO_2R^5$, where each of the above alkyl, alkenyl, alkynyl, cycloalkyl, aryl, heteroaryl and heterocyclyl portion of R^3 is optionally substituted with one to five groups independently selected from oxo, halogen, cyano, nitro, trifluoromethyl, difluoromethoxy, trifluoromethoxy, azido, $-NR^4SO_2R^5$, $-SO_2NR^6R^4$, $-C(O)R^6$, $-C(O)OR^6$, $-OC(O)R^6$, $-NR^4C(O)OR^5$, $-NR^4C(O)CR^6$, $-C(O)NR^4R^6$, $-NR^4R^6$, $-NR^4C(O)NR^4R^6$, $-NR^4C(NCN)NR^4R^6$, $-OR^6$, $-S(O)R^5$, $-SO_2R^5$, aryl, arylalkyl, heteroaryl, heteroarylalkyl, heterocyclyl, and heterocyclylalkyl;

A is $-(U)_nZ$, where

Application No.: 10/642,440

n is 0 or 1, and U is C₁-C₄ alkyl, C₂-C₄ alkenyl or C₂-C₄ alkynyl; where each alkyl, alkenyl or alkynyl is optionally substituted with up to five groups independently selected from oxo, halogen, cyano, nitro, trifluoromethyl, difluoromethoxy, trifluoromethoxy, azido, -NR⁴SO₂R⁵, -SO₂NR⁶R⁴, -C(O)R⁶, -C(O)OR⁶, -OC(O)R⁶, -NR⁴C(O)OR⁵, -NR⁴C(O)CR⁶, -C(O)NR⁴R⁶, -NR⁴R⁶, -NR⁴C(O)NR⁴R⁶, -NR⁴C(NCN)NR⁴R⁶, -OR⁶, -S(O)R⁵, -SO₂R⁵, aryl, arylalkyl, heteroaryl, heteroarylalkyl, heterocyclyl, and heterocyclalkyl;

Z is



where W and V are selected independently from CR⁷R⁸, CR⁸R⁹, O, NR⁶, S, SO, SO₂, provided

if W is O, NR⁶, S, SO, SO₂, then V is CR⁸R⁹, and provided that NR⁶ of Z is not NH;

Z includes one or more R⁸ or R⁹ groups, wherein said R⁸ and R⁹ groups may be bonded to the same or different atoms;

R⁴ is H or C₁₋₆ alkyl;

R⁵ is trifluoromethyl, C₁-C₁₀ alkyl, C₃-C₁₀ cycloalkyl, aryl, arylalkyl, heteroaryl, heteroarylalkyl, heterocyclyl, heterocyclalkyl, where each alkyl, cycloalkyl, aryl, heteroaryl, heterocyclyl and heterocyclalkyl is optionally substituted with one to five groups independently selected from oxo, halogen, cyano, nitro, OR⁶, NR⁴R⁶, trifluoromethyl,

Application No.: 10/642,440

difluoromethoxy, trifluoromethoxy, azido, aryl, heteroaryl, arylalkyl, heteroarylalkyl, heterocyclyl, and heterocyclalkyl;

R^6 , R^8 and R^9 are independently selected from hydrogen, trifluoromethyl, C_1 - C_{10} alkyl, $(CH_2)_{0-4}C_3$ - C_{10} cycloalkyl, aryl, arylalkyl, heteroaryl, heteroarylalkyl, heterocyclyl, heterocyclalkyl, where each alkyl, cycloalkyl, aryl, heteroaryl and heterocyclyl is optionally substituted with one to five groups independently selected from oxo, halogen, cyano, nitro, OR^6 , NR^6R^8 , trifluoromethyl, difluoromethoxy, trifluoromethoxy, azido, aryl, heteroaryl, arylalkyl, heteroarylalkyl, heterocyclyl, and heterocyclalkyl[[:]];:

R^7 is hydrogen, halogen, cyano, nitro, C_1 - C_{10} alkyl, C_2 - C_{10} alkenyl, C_2 - C_{10} alkynyl, C_3 - C_{10} cycloalkyl, C_3 - C_{10} cycloalkylalkyl, aryl, arylalkyl, heteroaryl, heteroarylalkyl, heterocyclyl, heterocyclalkyl, $-NR^4SO_2R^5$, $-SO_2NR^6R^4$, $-C(O)R^6$, $-C(O)OR^6$, $-OC(O)R^6$, $-NR^4C(O)OR^5$, $-NR^4C(O)R^6$, $-C(O)NR^4R^6$, $-NR^4R^6$, $-NR^4C(O)NR^4R^6$, $-OR^6$, $-S(O)R^5$, $-SO_2R^5$, where each of the above alkyl, alkenyl, alkynyl, cycloalkyl, aryl, heteroaryl and heterocyclyl portion of R^3 is optionally substituted with one to five groups independently selected from oxo, halogen, cyano, nitro, trifluoromethyl, difluoromethoxy, trifluoromethoxy, azido, $-NR^4SO_2R^5$, $-SO_2NR^6R^4$, $-C(O)R^6$, $-C(O)OR^6$, $-OC(O)R^6$, $-NR^4C(O)OR^5$, $-NR^4C(O)R^6$, $-C(O)NR^4R^6$, $-NR^4R^6$, $-NR^4C(O)NR^4R^6$, $-NR^4C(NCN)NR^4R^6$, $-OR^6$, $-S(O)R^5$, $-SO_2R^5$, aryl, arylalkyl, heteroaryl, heteroarylalkyl, heterocyclyl, and heterocyclalkyl;

an R^4 group and an R^6 group may be independently joined to complete a 3 to 10 membered cyclic ring optionally containing additional heteroatoms selected from the group consisting of O, S, SO, SO_2 and NR^6 where each ring carbon may be optionally substituted with one to three groups independently selected from halogen, cyano, nitro, trifluoromethyl, difluoromethoxy, trifluoromethoxy, azido, aryl, OR^8 , NR^6R^8 , heteroaryl, arylalkyl, heteroarylalkyl, heterocyclyl, and heterocyclalkyl; provided said ring does not contain two adjacent O or two adjacent S atoms;

an R^6 group and an R^8 group may be independently joined to complete a 3 to 10 membered cyclic ring optionally containing additional heteroatoms selected from the group consisting of O, S, SO, SO_2 and NR^6 where each ring carbon may be optionally substituted with one to three groups independently selected from halogen, cyano, nitro, trifluoromethyl, difluoromethoxy, trifluoromethoxy, azido, aryl, OR^8 , NR^6R^8 , heteroaryl, arylalkyl,

Application No.: 10/642,440

heteroarylalkyl, heterocyclyl, and heterocyclalkyl; provided said ring does not contain two adjacent O or two adjacent S atoms;

an R^7 group and an R^8 group may be independently joined to complete a 3 to 10 membered cyclic ring optionally containing additional heteroatoms selected from the group consisting of O, S, SO, SO_2 and NR^6 where each ring carbon may be optionally substituted with one to three groups independently selected from halogen, cyano, nitro, trifluoromethyl, difluoromethoxy, trifluoromethoxy, azido, aryl, OR^8 , NR^6R^8 , heteroaryl, arylalkyl, heteroarylalkyl, heterocyclyl, and heterocyclalkyl; provided said ring does not contain two adjacent O or two adjacent S atoms; and

an R^8 group and an R^9 group may be independently joined to complete a 3 to 10 membered cyclic ring optionally containing additional heteroatoms selected from the group consisting of O, S, SO, SO_2 and NR^6 where each ring carbon may be optionally substituted with one to three groups independently selected from halogen, cyano, nitro, trifluoromethyl, difluoromethoxy, trifluoromethoxy, azido, aryl, OR^8 , NR^6R^8 , heteroaryl, arylalkyl, heteroarylalkyl, heterocyclyl, and heterocyclalkyl; provided said ring does not contain two adjacent O or two adjacent S atoms.

Claim 2 (original): The compound of claim 1, wherein R^2 is a C_{1-8} alkyl having a terminal carbon atom bound to one of the ring atoms of R^1 .

Claim 3 (original): The compound of claim 1, wherein an A group is bonded to at least one of the carbons at the 6 or 7 position of the bicyclic ring.

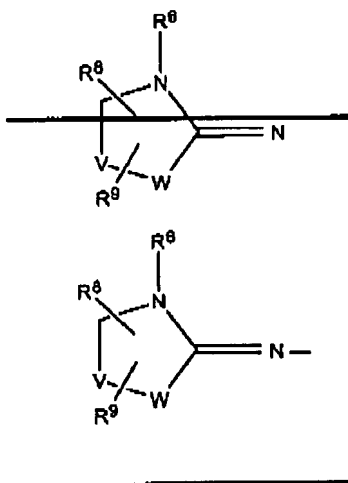
Claim 4 (previously amended): The compound of claim 1, wherein R^2 is hydrogen, and R^3 is hydrogen or OR^6 .

Claim 5 (previously amended): The compound of claim 3, wherein R^3 is hydrogen or OR^6 , and n is 0.

Claim 6 (original): The compound of claim 1, wherein R^2 is hydrogen.

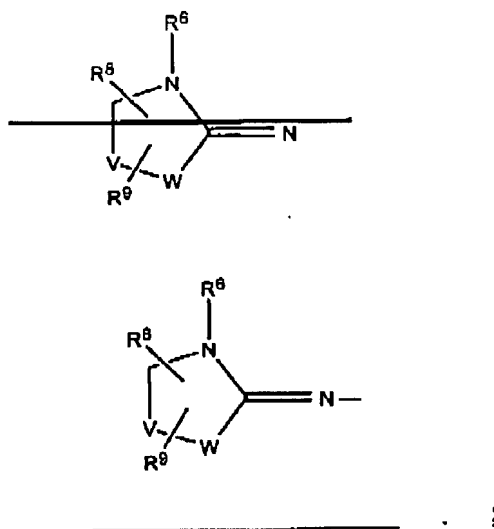
Application No.: 10/642,440.

Claim 7 (currently amended): The compound of claim 1, wherein Z is



and W is O.

Claim 8 (currently amended): The compound of claim 5, wherein Z is



and W is O.

Claim 9 (original): The compound of claim 1, wherein the R^4 group and the R^6 group are independently joined to complete a 3 to 10 membered cyclic ring optionally containing

Application No.: 10/642,440

additional heteroatoms selected from the group consisting of O, S, SO, SO₂ and NR⁶ where each ring carbon may be optionally substituted with one to three groups independently selected from halogen, cyano, nitro, trifluoromethyl, difluoromethoxy, trifluoromethoxy, azido, aryl, OR⁸, NR⁶R⁸, heteroaryl, arylalkyl, heteroarylalkyl, heterocyclyl, and heterocyclylalkyl; provided said ring does not contain two adjacent O or two adjacent S atoms.

Claim 10 (original): The compound of claim 1, wherein the R⁶ group and the R⁸ group are independently joined to complete a 3 to 10 membered cyclic ring optionally containing additional heteroatoms selected from the group consisting of O, S, SO, SO₂ and NR⁶ where each ring carbon may be optionally substituted with one to three groups independently selected from halogen, cyano, nitro, trifluoromethyl, difluoromethoxy, trifluoromethoxy, azido, aryl, OR⁸, NR⁶R⁸, heteroaryl, arylalkyl, heteroarylalkyl, heterocyclyl, and heterocyclylalkyl; provided said ring does not contain two adjacent O or two adjacent S atoms.

Claim 11 (previously amended): The compound of claim 1, wherein the R⁷ group and the R⁸ group are independently joined to complete a 3 to 10 membered cyclic ring optionally containing additional heteroatoms selected from the group consisting of O, S, SO, SO₂ and NR⁶ where each ring carbon may be optionally substituted with one to three groups independently selected from halogen, cyano, nitro, trifluoromethyl, difluoromethoxy, trifluoromethoxy, azido, aryl, OR⁸, NR⁶R⁸, heteroaryl, arylalkyl, heteroarylalkyl, heterocyclyl, and heterocyclylalkyl; provided said ring does not contain two adjacent O or two adjacent S atoms.

Claim 12 (original): The compound of claim 1, wherein the R⁸ group and the R⁹ group are independently joined to complete a 3 to 10 membered cyclic ring optionally containing additional heteroatoms selected from the group consisting of O, S, SO, SO₂ and NR⁶ where each ring carbon may be optionally substituted with one to three groups independently selected from halogen, cyano, nitro, trifluoromethyl, difluoromethoxy, trifluoromethoxy, azido, aryl, OR⁸, NR⁶R⁸, heteroaryl, arylalkyl, heteroarylalkyl, heterocyclyl, and heterocyclylalkyl; provided said ring does not contain two adjacent O or two adjacent S atoms.

Application No.: 10/642,440

Claim 13 (withdrawn): A method of treating hyperproliferative diseases in a mammal comprising administering a therapeutically effective amount of the compound defined in claim 1 to said mammal.

Claim 14 (withdrawn): A method of treating hyperproliferative diseases in a mammal comprising administering a therapeutically effective amount of the compound defined in claim 2 to said mammal.

Claim 15 (withdrawn): A method of treating hyperproliferative diseases in a mammal comprising administering a therapeutically effective amount of the compound defined in claim 3 to said mammal.

Claim 16 (withdrawn): A method of treating hyperproliferative diseases in a mammal comprising administering a therapeutically effective amount of the compound defined in claim 4 to said mammal.

Claim 17 (withdrawn): A method of treating hyperproliferative diseases in a mammal comprising administering a therapeutically effective amount of the compound defined in claim 5 to said mammal.

Claim 18 (withdrawn): A method of treating hyperproliferative diseases in a mammal comprising administering a therapeutically effective amount of the compound defined in claim 6 to said mammal.

Claim 19 (withdrawn): A method of treating hyperproliferative diseases in a mammal comprising administering a therapeutically effective amount of the compound defined in claim 7 to said mammal.

Application No.: 10/642,440

Claim 20 (withdrawn): A method of treating hyperproliferative diseases in a mammal comprising administering a therapeutically effective amount of the compound defined in claim 8 to said mammal.

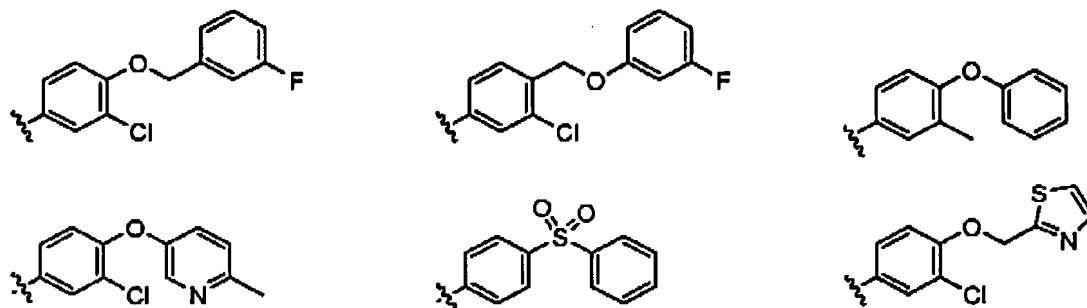
Claim 21 (withdrawn): A method of treating hyperproliferative diseases in a mammal comprising administering a therapeutically effective amount of the compound defined in claim 9 to said mammal.

Claim 22 (withdrawn): A method of treating hyperproliferative diseases in a mammal comprising administering a therapeutically effective amount of the compound defined in claim 10 to said mammal.

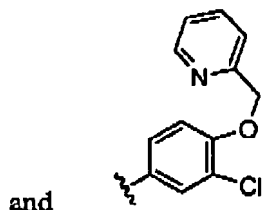
Claim 23 (withdrawn): A method of treating hyperproliferative diseases in a mammal comprising administering a therapeutically effective amount of the compound defined in claim 11 to said mammal.

Claim 24 (withdrawn): A method of treating hyperproliferative diseases in a mammal comprising administering a therapeutically effective amount of the compound defined in claim 12 to said mammal.

Claim 25 (previously presented): The compound of claim 1, wherein R^1 is selected from the structures:



Application No.: 10/642,440



Claim 26 (previously presented): The compound of claim 7, wherein R^6 is an optionally substituted alkyl or cycloalkyl.

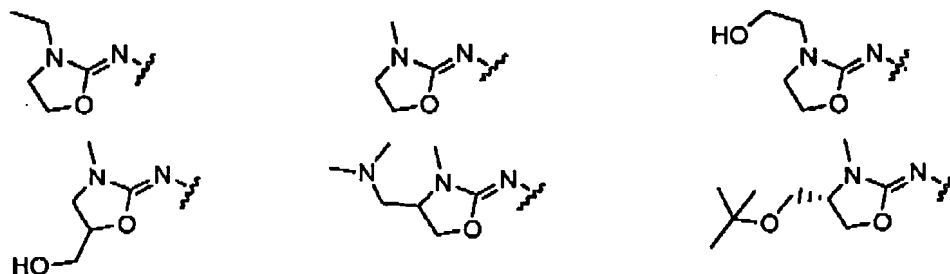
Claim 27 (previously presented): The compound of claim 26, wherein R^6 is methyl, ethyl, CH_2CF_3 , $\text{CH}_2\text{CH}_2\text{OH}$, or cyclopropyl.

Claim 28 (previously presented): The compound of claim 26, wherein R^8 and R^9 are independently an optionally substituted alkyl.

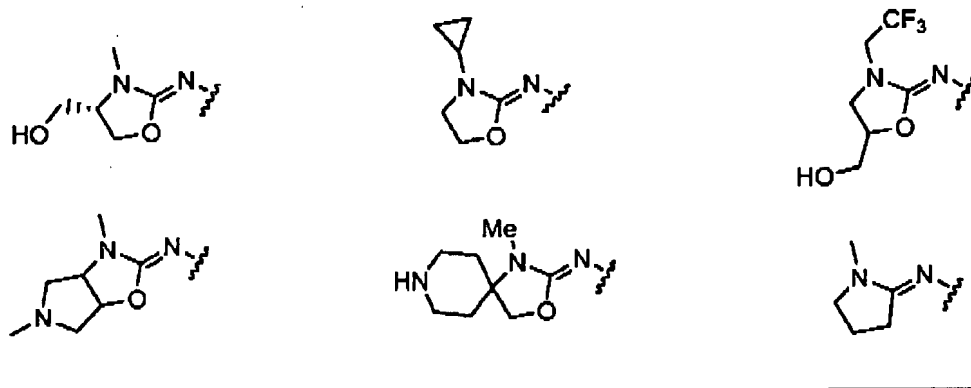
Claim 29 (previously presented): The compound of claim 28, wherein R^8 and R^9 are independently CH_2OH , CH_2NMe_2 or $\text{CH}_2\text{O-t-butyl}$.

Claim 30 (previously presented): The compound of claim 26, wherein R^8 and R^9 together with the atoms to which they are attached form an optionally substituted heterocyclic ring.

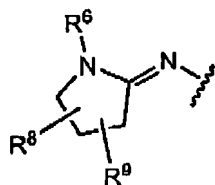
Claim 31 (currently amended): The compound of claim 7, wherein Z is selected from the structures:



Application No.: 10/642,440



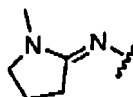
Claim 32 (previously presented): The compound of claim 1, wherein Z is



Claim 33 (previously presented): The compound of claim 32, wherein R⁶ is an optionally substituted alkyl.

Claim 34 (previously amended): The compound of claim 33, wherein Z is methyl.

Claim 35 (previously presented): The compound of claim 34, wherein Z is



Claim 36 (currently amended): The compound of claim 1, selected from:

N4-[3-Chloro-4-(3-fluorobenzyloxy)-phenyl]-N6-(3-methyl-oxazolidin-2-ylidene)-quinazoline-4,6-diamine;

Application No.: 10/642,440

N-4-[3-Chloro-4-(3-fluorobenzyloxy)-phenyl]-N6-(3-ethyl-oxazolidin-2-ylidene)-quinazoline-4,6-diamine;

(2-{4-[3-Chloro-4-(3-fluorobenzyloxy)-phenylamino]-quinazolin-6-ylimino}-3-methyl-oxazolidin-5-yl)-methanol;

2-(2-{4-[3-Chloro-4-(3-fluorobenzyloxy)-phenylamino]-quinazolin-6-ylimino}-oxazolidin-3-yl)-ethanol;

N-4-[3-Chloro-4-(3-fluorobenzyloxy)-phenyl]-N6-(4-dimethylaminomethyl-3-methyl-oxazolidin-2-ylidene)-quinazoline-4,6-diamine;

(S)-N6-(4-tert-Butoxymethyl-3-methyl-oxazolidin-2-ylidene)-N4-[3-chloro-4-(3-fluorophenoxymethyl)-phenyl]-quinazoline-4,6-diamine;

(S)-(2-{4-[3-Chloro-4-(3-fluorophenoxymethyl)-phenylamino]-quinazolin-6-ylimino}-3-methyl-oxazolidin-4-yl)-methanol;

(2-{4-[3-Chloro-4-(3-fluorophenoxymethyl)-phenylamino]-quinazolin-6-ylimino}-3-methyl-oxazolidin-5-yl)-methanol;

{3-Methyl-2-[4-(3-methyl-4-phenoxyphenylamino)-quinazolin-6-ylimino]-oxazolidin-5-yl}-methanol;

(2-{4-[3-Chloro-4-(6-methylpyridin-3-yloxy)-phenylamino]-quinazolin-6-ylimino}-3-methyl-oxazolidin-5-yl)-methanol;

N4-(4-Benzenesulfonylphenyl)-N6-(3-methyloxazolidin-2-ylidene)-quinazoline-4,6-diamine;

{2-[4-(4-Benzenesulfonylphenylamino)-quinazolin-6-ylimino]-3-methyl-oxazolidin-5-yl}-methanol;

N4-(4-Benzenesulfonylphenyl)-N6-(3-cyclopropyloxazolidin-2-ylidene)-quinazoline-4,6-diamine;

N6-(Dimethylhexahydropyrrolo[3,4-d]oxazol-2-ylidene)-N4-(3-methyl-4-phenoxyphenyl)-quinazoline-4,6-diamine;

N4-[3-Chloro-4-(thiazol-2-ylmethoxy)-phenyl]-N6-(3-methyloxazolidin-2-ylidene)-quinazoline-4,6-diamine;

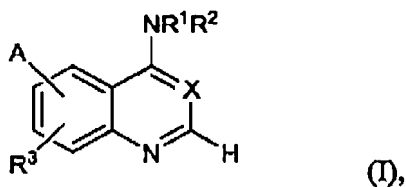
N4-[3-Chloro-4-(pyridin-2-ylmethoxy)-phenyl]-N6-(dimethyl-3-oxa-1,8-diazaspiro[4.5]dec-2-ylidene)-quinazoline-4,6-diamine;

Application No.: 10/642,440

[2-{4-[3-Chloro-4-(3-fluorobenzoyloxy)-phenylamino]-quinazolin-6-ylimino}-3-(2,2,2-trifluoroethyl)-oxazolidin-5-yl]-methanol; and

N4-[3-Chloro-4-(3-fluorobenzoyloxy)-phenyl]-N6-(1-methylpyrrolidin-2-ylidene)-quinazoline-4,6-diamine.

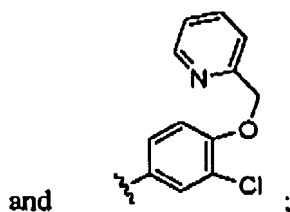
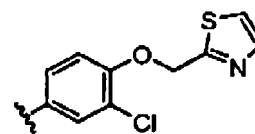
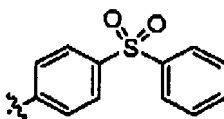
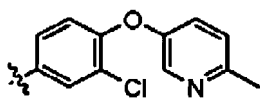
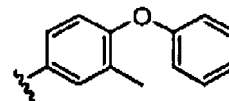
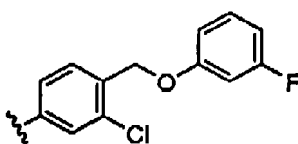
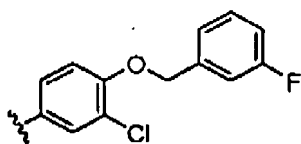
Claim 37 (new): A compound including resolved enantiomers, diastereomers and pharmaceutically acceptable salts thereof, said compound comprising Formula I:



wherein

X is N;

R¹ is selected from the structures:



R² is hydrogen or a substituted or unsubstituted C₁₋₈ alkyl;

Application No.: 10/642,440

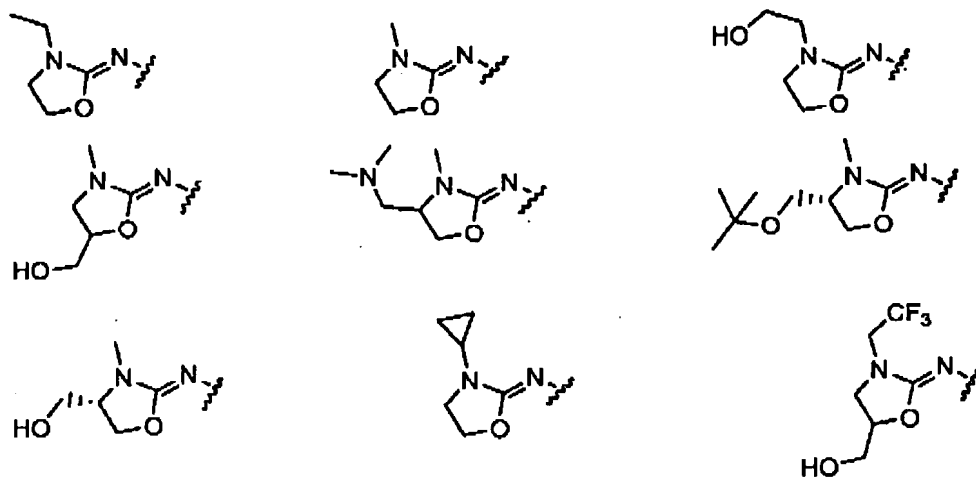
R^3 is hydrogen, halogen, cyano, nitro, C_1 - C_{10} alkyl, C_2 - C_{10} alkenyl, C_2 - C_{10} alkynyl, C_3 - C_{10} cycloalkyl, C_3 - C_{10} cycloalkylalkyl, aryl, arylalkyl, heteroaryl, heteroarylalkyl, heterocyclyl, heterocyclylalkyl, $-NR^4SO_2R^5$, $-SO_2NR^6R^4$, $-C(O)R^6$, $-C(O)OR^6$, $-OC(O)R^6$, $-NR^4C(O)OR^5$, $-NR^4C(O)R^6$, $-C(O)NR^4R^6$, $-NR^4R^6$, $-NR^4C(O)NR^4R^6$, $-OR^6$, $-S(O)R^5$, $-SO_2R^5$, where each of the above alkyl, alkenyl, alkynyl, cycloalkyl, aryl, heteroaryl and heterocyclyl portion of R^3 is optionally substituted with one to five groups independently selected from oxo, halogen, cyano, nitro, trifluoromethyl, difluoromethoxy, trifluoromethoxy, azido, $-NR^4SO_2R^5$, $-SO_2NR^6R^4$, $-C(O)R^6$, $-C(O)OR^6$, $-OC(O)R^6$, $-NR^4C(O)OR^5$, $-NR^4C(O)CR^6$, $-C(O)NR^4R^6$, $-NR^4R^6$, $-NR^4C(O)NR^4R^6$, $-NR^4C(NCN)NR^4R^6$, $-OR^6$, $-S(O)R^5$, $-SO_2R^5$, aryl, arylalkyl, heteroaryl, heteroarylalkyl, heterocyclyl, and heterocyclylalkyl;

A is $-(U)_nZ$, where

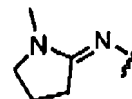
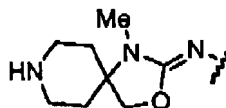
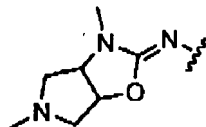
n is 0 or 1;

U is C_1 - C_4 alkyl, C_2 - C_4 alkenyl or C_2 - C_4 alkynyl; where each alkyl, alkenyl or alkynyl is optionally substituted with up to five groups independently selected from oxo, halogen, cyano, nitro, trifluoromethyl, difluoromethoxy, trifluoromethoxy, azido, $-NR^4SO_2R^5$, $-SO_2NR^6R^4$, $-C(O)R^6$, $-C(O)OR^6$, $-OC(O)R^6$, $-NR^4C(O)OR^5$, $-NR^4C(O)CR^6$, $-C(O)NR^4R^6$, $-NR^4R^6$, $-NR^4C(O)NR^4R^6$, $-NR^4C(NCN)NR^4R^6$, $-OR^6$, $-S(O)R^5$, $-SO_2R^5$, aryl, arylalkyl, heteroaryl, heteroarylalkyl, heterocyclyl, and heterocyclylalkyl; and

Z is selected from the following structures:



Application No.: 10/642,440



Claim 38 (new): The compound of claim 37, wherein $n=0$.

Claim 39 (new): The compound of claim 38, wherein R^2 is hydrogen.

Claim 40 (new): The compound of claim 38, wherein R^3 is hydrogen.